

بِسْمِ اللّٰهِ الرَّحْمٰنِ الرَّحِيْمِ  
الْحٰمِدُ لِلّٰهِ رَبِّ الْعٰالَمِينَ

In The Name Of ALLAH  
The Most Gracious, The Most Merciful



# Armed Forces College of Medicine

## AFCM



# Pituitary hormones' analogues

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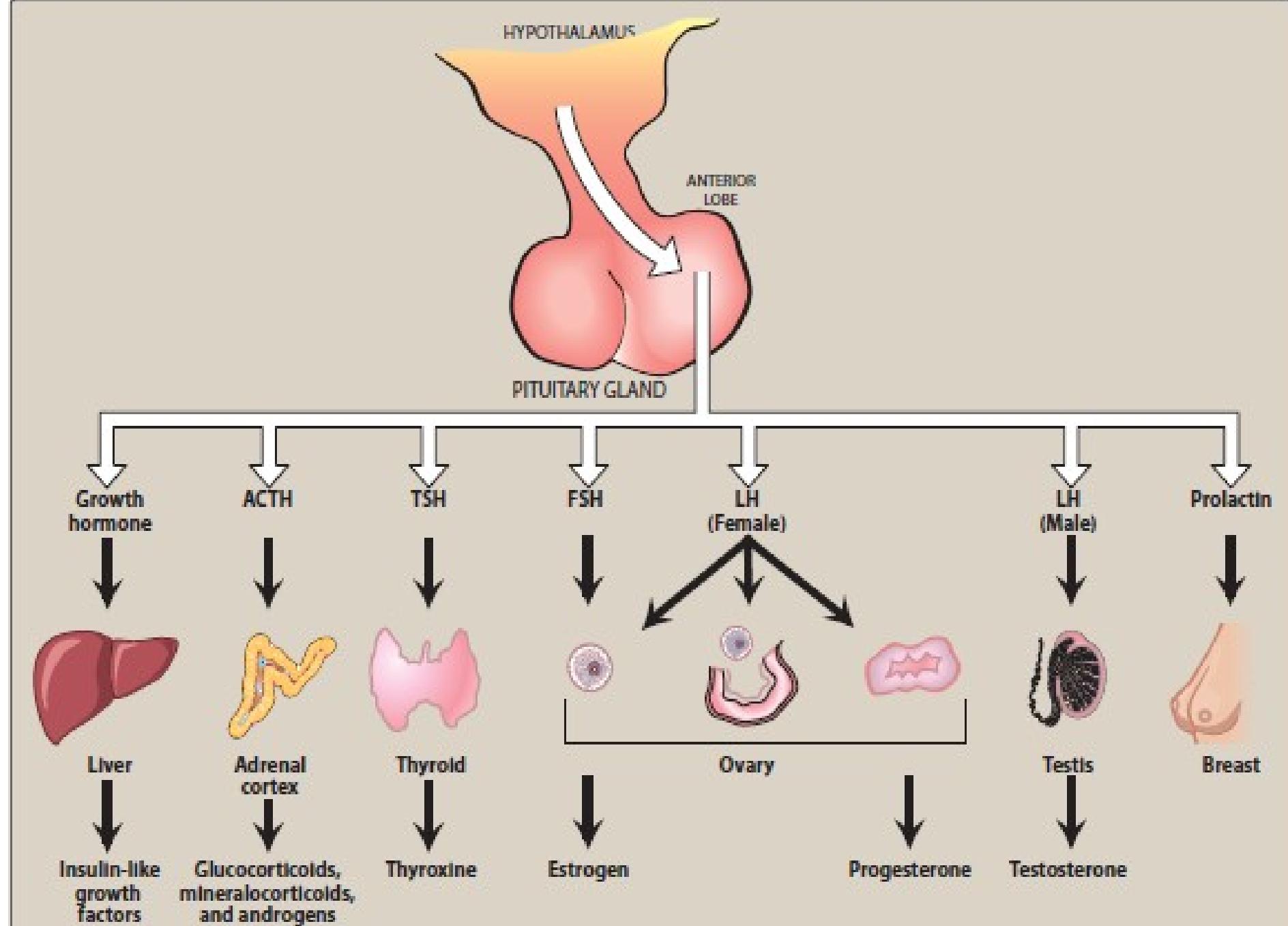
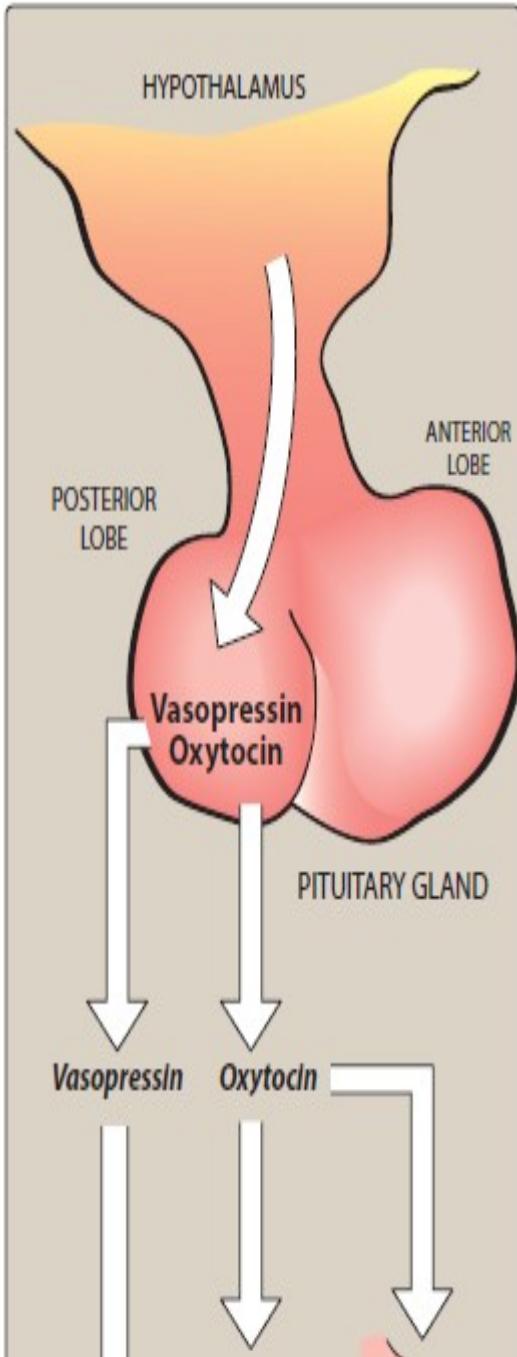
## INTENDED LEARNING OBJECTIVES (ILO)



By the end of this lecture you will be able to:

- 1) Classify the pituitary hormones analogues .
- 2) Identify                    vasopressin,      Desmopressin,  
Octreotide & Leuprolide therapeutic uses
- 3) Identify the drugs that modulate the prolactin  
level

# Hormones of the Pituitary gland





# Preparations of Posterior Pituitary Hormones

## 1) Oxytocin:

- Oxytocin (Syntocinon®)
- Dosage forms: I.M, I.V& nasal spray.
- It is used in obstetrics to stimulate uterine contractions.

### Therapeutic uses

1. Induction of labour in uterine inertia
2. Control postpartum hemorrhage
3. Breast engorgement by milk (to contract myoepithelium of breast.)





# Preparations of Posterior Pituitary Hormones

## 2) Vasopressin: (Terlipressin) (SC / IM / IV)

- Vasopressin has both antidiuretic (ADH) and vasopressor effects.
- In the kidney, it binds to the V<sub>2</sub> receptor to increase water permeability and reabsorption in the collecting tubules.
- Other effects of vasopressin are mediated through V<sub>1</sub> receptor, which is found in liver, vascular smooth muscle (where it causes constriction),

## **Therapeutic uses:**

- 1) The **major use** of vasopressin is to treat **diabetes insipidus**.
- 2) Also used in the management of:

### **a- cardiac arrest:**

(systemic vasoconstriction □

promotes an increase in coronary and cerebral perfusion pressures)

### **b- in controlling bleeding due to esophageal varices:**

(direct V.C. of splanchnic arterioles and precapillary sphincters, with secondary reduction in portal venous blood flow & pressure)

### **3) Desmopressin :((**intranasal, IV infusion, oral**))**

An analog of vasopressin and is preferred for:

The treatment of diabetes insipidus and nocturnal enuresis

as it:

- Stimulates **V2 receptors** in the Kidney (antidiuretic effect)

and has

**minimal** activity at the **V1 receptor** □ largely free of

# Preparations of Anterior Pituitary Hormones



# Octreotide (Growth hormone-inhibiting hormone)

**Octreotide** is a synthetic analog of somatostatin.

- It binds to Somatostatin receptors in hypothalamus → suppress GH and TSH hormone release.
- Also inhibits the release of insulin, glucagon, and gastrin.

# Octreotide

## (Growth hormone-inhibiting hormone)



### Octreotide:

It has half-life longer than that of the natural compound (Somatostatin)

### Administration:

- **Immediate** release **SC & IV injections.**
- **Depot** formulation is available, allowing administration **IM once / 4 weeks.**



# Octreotide (Growth hormone-inhibiting hormone)

## Uses:

### 1) Treatment of **acromegaly**.

Acromegaly that is refractory to other modes of therapy may

be treated with pegvisomant a GH receptor antagonist

### 2) An **intravenous infusion** of octreotide is used for

the bleeding esophageal varices



# Octreotide (Growth hormone-inhibiting hormone)

**Adverse effects of Octreotide include:**

- Diarrhea, abdominal pain, flatulence, nausea, and steatorrhea.
- Delayed Gallbladder emptying and asymptomatic cholesterol gallstones can occur with long-term treatment.



# Leuprolide

## Gonadotropin-releasing hormone (GnRH) analog

Pulsatile secretion of GnRH from the hypothalamus is **essential for the release of the gonadotropins** follicle stimulating hormone (FSH) and luteinizing hormone (LH) from the anterior pituitary.

However, **continuous administration of GnRH**

- **inhibits gonadotropin release through down-regulation of the GnRH receptors on the pituitary.**

- Continuous administration of the synthetic GnRH analog,  
**Leuprolide** is effective in suppressing  
production of the  
gonadotropins and leads to reduced  
production of  
androgens and estrogens.
- **Leuprolide** is effective in the treatment of:
  - 1- Prostate Cancer
  - 2- Endometriosis

- **Leuprolide** is available as **implantable formulations** that provide convenient continuous delivery of the drug suppression of gonadotropins.

### **Adverse effects:**

- **In women:** GnRH analogs may cause:
  - 1- Hot flushes and sweating
  - 2- Diminished libido
  - 3- Depression
- **Contraindicated in:** pregnancy and breast-feeding
- **In men:** GnRH analogs :
  - 1- Initially cause a rise in testosterone
  - 2- Gynecomastia, and diminished libido may also

# Drugs Modulate Prolactin Level

**Secretion of Prolactin** hormone from the anterior pituitary is **inhibited** by **dopamine** acting at D2 receptors.

Drugs that act as dopamine antagonists (**Metoclopramide and Antipsychotics**) can increase the



**Hyperprolactinemia**, which is associated with galactorrhea and hypogonadism, **is treated with D2 receptor agonists**, such as **bromocriptine and cabergoline**. Both of these agents **also are used in the treatment of pituitary microadenomas.**



## SUGGESTED TEXTBOOKS

1. Whalen, K., Finkel, R., & Panavelil, T. A. (2018) Lippincott's Illustrated Reviews: Pharmacology (7<sup>th</sup> edition.). Philadelphia: Wolters Kluwer
  
2. Katzung BG, Trevor AJ. (2018). Basic & Clinical Pharmacology (14<sup>th</sup> edition) New York: McGraw-Hill Medical.

Thank You!

